

Supporting information

CBr₄ - Mediated Cross-Coupling Reactions of *a*-Aminocarbonyl Compounds with Alcohols and Thiols to Build C-O Bond and C-S Bond

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Table of Contents

1. General information	1
2. Typical Experimental Procedure	1
3. References	5
4. Characterization and analytical data of products.....	5
5. Copies of ¹ H NMR and ¹³ C NMR spectra	25

I. General information

All of the reactions were carried out in oven-dried flask. Products were purified by flash chromatography on 200–300 mesh silica gels. Analytical TLC was performed with Merck silica gel 60 F254 plates, and the products were visualized by UV detection. Unless otherwise noted, chemical shifts (δ) are reported in ppm using TMS as internal standard; ^1H NMR (400 MHz) and ^{13}C NMR (100 MHz) spectra were recorded in CDCl_3 ($\delta = 77.00$ ppm). The high resolution mass spectra (HRMS) were recorded on an FT-ICR mass spectrometer using electrospray ionization (ESI). Melting points were determined on a microscopic apparatus. ^1H and ^{13}C NMR spectra are provided. Commercially available reagents were used without further purification.

2. Typical Experimental Procedure

(A) *Synthesis of starting materials*

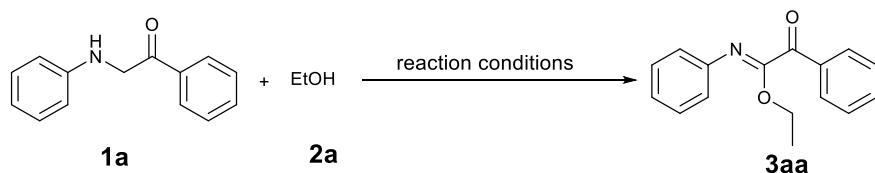
Substrates **1** were prepared according to the known procedures.^{1,2}

(B) *Screening for optimal reaction conditions of ethanol with *a*-aminocarbonyl.*

To begin our work, 1-phenyl-2-(phenylamino)ethan-1-one **1a** (0.2 mmol) and ethanol **2a** (2 mL) were employed as model reactants. As shown in Table *S1*, only a trace amount of product ethyl -2-oxo-*N*,2-diphenylacetimidate **3aa** was observed in the presence of CBr_4 (1.5 equiv.) under air at room temperature (Table *S1*, entry 1). However, when the reaction was carried out in basic conditions, the yield of product was improved observably. Further investigation of the effect of the bases revealed that the yield of **3aa** was greatly increased to 62% with NaOH (4.0 equiv.) (Table *S1*, entries 2-7). Meanwhile, both increasing and decreasing the loading of NaOH resulting in lower yields (Table *S1*, entries 8-9). Subsequently, changing the amount of CBr_4 to 2.0 equivalent, the desired product **3aa** was given in 87% yield (Table 1, entries 10 - 12). After a battery of trials, we found that using mixture solvent by adding some other solvents such as DCM, MeCN and DMSO did not make any improvement and the absolute ethanol was more suitable (Table *S1*, entries 13-15). Besides, a series of halogenated reagents, including NBS, CCl_4 , TBAB, CBrCl_3 were examined; the results proved that CBr_4 was the most effective (Table *S1*,

entries 16- 19). In addition, in the absence of CBr_4 , the reaction could not proceed (Table S1, entry 20). Moreover, when we conducted the reaction under oxygen atmosphere or nitrogen atmosphere, the yield of **3aa** did not increase, giving the C – O bond formation product in 64% and 40% yield, respectively (Table S1, entries 21 - 22). After exploring different parameters, the highest yield of **3aa** was achieved when the reaction was carried out with CBr_4 (2.0 equiv.) and NaOH (4.0 equiv.) in ethanol (2 mL) under air at room temperature (Table S1, entry 11).

Table S1. Screening for optimal reaction conditions.^a



Entry	Hal./equiv.	Base/equiv.	Additive	Solvent	Yield (%) ^b
1	CBr_4 (1.5)	--			trace
2	CBr_4 (2.0)	Et_3N (4.0)	-		38
3	CBr_4 (2.0)	DBU (4.0)	-		41
4	CBr_4 (2.0)	DABCO (4.0)	-		25
5	CBr_4 (2.0)	KOH (4.0)	-		42
6	CBr_4 (2.0)	<i>t</i> -BuOK	-		33
7	CBr_4 (1.5)	NaOH (4.0)	-		62
8	CBr_4 (1.5)	NaOH (3.0)	-		46
9	CBr_4 (1.5)	NaOH (5.0)	-		60
10	CBr_4 (1.0)	NaOH (4.0)	-		56
11	CBr_4 (2.0)	NaOH (4.0)	-		87
12	CBr_4 (2.5)	NaOH (4.0)	-		74
13 ^c	CBr_4 (2.0)	NaOH (4.0)	DCM		72
14 ^c	CBr_4 (2.0)	NaOH (4.0)	MeCN		68
15 ^c	CBr_4 (2.0)	NaOH (4.0)	DMSO		44
16	NBS (2.0)	NaOH (4.0)	-		28
17	CCl_4 (2.0)	NaOH (4.0)	-		0
18	TBAB (2.0)	NaOH (4.0)	-		0
19	CBrCl_3	NaOH (4.0)	-		42
20	--	NaOH (4.0)	--		0
21 ^d	CBr_4 (2.0)	NaOH (4.0)	-		64
22 ^e	CBr_4 (2.0)	NaOH (4.0)	-		76

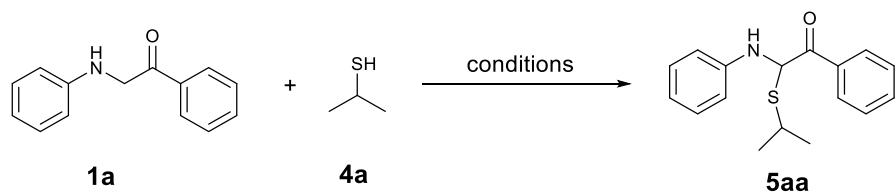
^a Reaction conditions: **1a** (0.2 mmol), **2a** (2 mL), base and solvent (1 mL) under air atmosphere at room temperature for 4 h. ^b Yield of isolated product. ^c EtOH (1 mL). ^d Under O_2 (1 atm) atmosphere. ^e Under N_2 (1 atm) atmosphere. Et_3N = Triethylamine. DBU = 1,8-Diazabicyclo[5.4.0]undec-7-ene. DABCO = Triethylenediamine. DCM = Dichloromethane. DMSO = Dimethyl sulfoxide. NBS = N-Bromosuccinimide. TBAB = Tetrabutylammonium bromide.

(C) Screening for optimal reaction conditions of thiol with *a*-aminocarbonyl.

Initially, we carried out the reaction of 1-phenyl-2-(phenylamino)ethan-1-one **1a** (0.2 mmol) with propane-2-thiol (2 mL) using CBr_4 (2.0 equiv.) and NaOH (4.0 equiv.) under

air at room temperature, the product 2-(isopropylthio)-1-phenyl-2-(phenylamino)ethan-1-one **5aa** was successfully obtained in 42% yield (Table S2, entry 1). Encouraged by this result, we continued optimizing the other reaction parameters. Firstly, we screened different bases, the results indicated that DABCO was superior than the other bases tested such as Et₃N, DBU, DMAP, giving the desired product **5aa** in 68% (Table S2, entries 2 -6). In the absence of base, **5aa** was detected in 28% (Table S2, entry 7). Furthermore, changing the loading of DABCO found that 4.0 equivalent was the best choice (Table S2, entries 4, 8-9). Moreover, no matter increasing the amount of CBr₄ or decreasing it, the yields of product did not get any improvement (Table S2, entries 4, 10-11). Subsequently, the effect of different solvents was surveyed. Among the solvents tested, MeCN proved to be the most effective comparing to DCE, DMSO and DMF, affording the desired product **5aa** in 91% yield (Table S2, entries 12-15). After a series of trials, we found that other halogenated reagents such as NBS, CCl₄, TBAB and CBrCl₃ did not provide any better results (Table S2, entries 16 - 19). Notably, the reaction could not proceed smoothly in the absence of CBr₄ (Table S2, entry 20). In addition, the reaction could be conducted smoothly under O₂ or N₂ atmosphere, giving the product 2-(isopropylthio)-1-phenyl-2-(phenylamino)ethan-1-one **5aa** in 81% and 72%, respectively (Table S2, entries 21 and 22). All together it was discovered that 2.0 equivalent of CBr₄ with 4.0 equivalent of DABCO in CH₃CN at room temperature under air were the optimized reaction conditions (Table S2, entry 13).

Table S2: Screening for optimal reaction conditions ^a

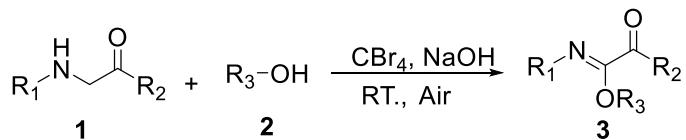


Entry	Hal./ equiv.	Base/ equiv.	Additive Solvent	Yield(%) ^[b]
1	CBr ₄ (2.0)	NaOH (4.0)	--	42
2	CBr ₄ (2.0)	Et ₃ N (4.0)	--	28
3	CBr ₄ (2.0)	DBU (4.0)	--	20
4	CBr ₄ (2.0)	DABCO (4.0)		68
5	CBr ₄ (2.0)	DMAP (4.0)		53

6	CBr ₄ (2.0)	TMEDA (4.0)		42
7	CBr ₄ (2.0)	--	--	28
8	CBr ₄ (2.0)	DABCO (3.0)	--	60
9	CBr ₄ (2.0)	DABCO (5.0)	--	47
10	CBr ₄ (1.5)	DABCO (4.0)	--	61
11	CBr ₄ (2.5)	DABCO (4.0)	--	56
12 ^c	CBr ₄ (2.0)	DABCO (4.0)	DCE	58
13^c	CBr₄ (2.0)	DABCO (4.0)	MeCN	91
14 ^c	CBr ₄ (2.0)	DABCO (4.0)	DMSO	44
15 ^c	CBr ₄ (2.0)	DABCO (4.0)	DMF	72
16 ^c	NBS	DABCO (4.0)	MeCN	21
17 ^c	CCl ₄	DABCO (4.0)	MeCN	38
18 ^c	TBAB	DABCO (4.0)	MeCN	26
19 ^c	CBrCl ₃	DABCO (4.0)	MeCN	48
20 ^c	--	DABCO (4.0)	MeCN	trace
21 ^{c,d}	CBr ₄ (2.0)	DABCO (4.0)	MeCN	81
22 ^{c,e}	CBr ₄ (2.0)	DABCO (4.0)	MeCN	72

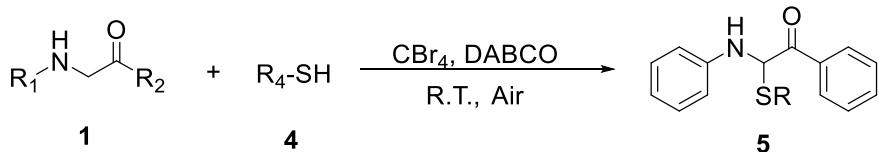
^a Reaction conditions: **1a** (0.2 mmol), **4a** (2 mL), base and solvent (1 mL) under air atmosphere at room temperature for 15 h. ^b Yield of isolated product. ^c **4a** (1mL). ^d Under O₂ (1 atm) atmosphere. ^e Under N₂ (1 atm) atmosphere. Et₃N = Triethylamine. DBU = 1,8-Diazabicyclo[5.4.0]undec-7-ene. DABCO = Triethylenediamine. DMAP = dimethylaminopyridine. TMEDA = Tetramethylethylenediamine. DCE = Dichloroethane. DMSO = Dimethyl sulfoxide. DMF = Dimethyl formamide. NBS = *N*-Bromosuccinimide. TBAB = Tetrabutylammonium bromide.

(D) Typical Experimental Procedure for the CBr₄-Mediated C-O Bond Formation Products (3):



An oven-dried tube with a magnetic stir bar was charged with the α -amino carbonyl compound **1** (0.2 mmol), CBr₄ (2.0 equiv) and NaOH (4.0 equiv) in alcohol **2** (2.0 mL). If the alcohol is the solid, DCM (1 mL) and alcohol (4.0 equiv.) would be added. Then the reaction mixture was stirred at room temperature under air until complete consumption of starting material as monitored by TLC. After the reaction was finished, the mixture was concentrated in vacuum, and the residues were purified by silica gel column chromatography (hexane/ethyl acetate = 40:1) to afford the desired product **3**.

(E) Typical Experimental Procedure for the CBr₄-Mediated C-S Bond Formation
Products (5):

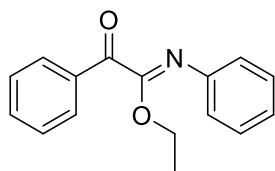


An oven-dried tube with a magnetic stir bar was charged with the α -amino carbonyl compound **1** (0.2 mmol), CBr₄ (2.0 equiv) and DABCO (4.0 equiv) in the mixture of thiol **4** (1.0 mL) and MeCN (1.0 mL). If the thiol is the solid, thiol (4.0 equiv.) would be added. Then the reaction mixture was stirred at room temperature under air until complete consumption of starting material as monitored by TLC. After the reaction was finished, the mixture would be extracted with EtOAc, washed with brine, dried over Na₂SO₄, and then evaporated. The residues were purified by silica gel column chromatography (hexane/ethyl acetate = 40:1) to afford the desired product **5**.

3. References

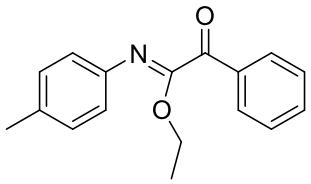
- [1] F. Lakner, M. Parker, B. Rogovoy, A. Khvat and A. Ivachtchenko, *Synthesis*, **2009**, 12, 1987.
- [2] M. Pal, N. Swamy, P. Hameed, S. Padakanti and K. Yeleswarapu, *Tetrahedron*, **2004**, 60, 3987.
- [3] P. K. Prasad, R. N. Reddi and Arumugam Sudalai, *Org. Lett.*, **2016**, 18, 500.
- [4] X.D. Li, M. Chen, X. Xie, N. Sun, S. Li and Y.H. Liu, *Org. Lett.*, **2015**, 17, 2984.

4. Characterization and analytical data of products



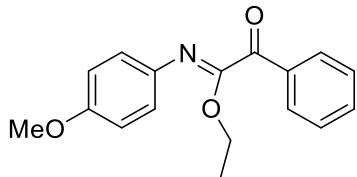
Ethyl-2-oxo-N,2-diphenylacetimidate (3aa)

Yellow oil (m = 44mg, 88%); ¹**H NMR** (400 MHz, CDCl₃) δ : 7.82 (d, *J* = 7.5 Hz, 2H), 7.58 – 7.54 (m, 1H), 7.43 (t, *J* = 7.7 Hz, 2H), 7.12 (t, *J* = 7.8 Hz, 2H), 6.93 (t, *J* = 7.4 Hz, 1H), 6.84 (d, *J* = 7.6 Hz, 2H), 4.51 (q, *J* = 7.1 Hz, 2H), 1.46 (t, *J* = 7.1 Hz, 3H); ¹³**C NMR** (101 MHz, CDCl₃) δ : 190.03, 156.87, 145.43, 134.41, 133.61, 129.50, 128.72, 123.81, 121.50, 63.01, 14.14. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₆H₁₅NO₂H⁺ 254.1176, found 254.1179.



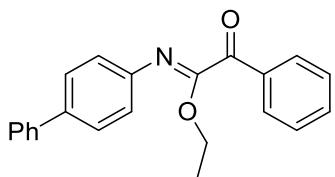
Ethyl-2-oxo-2-phenyl-N-(*p*-tolyl)acetimidate (3ba)

Yellow oil ($m = 49\text{mg}$, 92%); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 7.82 (d, $J = 7.5 \text{ Hz}$, 2H), 7.56 (t, $J = 7.4 \text{ Hz}$, 1H), 7.43 (t, $J = 7.7 \text{ Hz}$, 2H), 6.92 (d, $J = 8.0 \text{ Hz}$, 2H), 6.73 (d, $J = 8.0 \text{ Hz}$, 2H), 4.50 (q, $J = 7.1 \text{ Hz}$, 2H), 2.19 (s, 3H), 1.45 (t, $J = 7.1 \text{ Hz}$, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ : 190.30, 156.77, 142.81, 134.35, 133.72, 133.21, 129.55, 129.36, 128.73, 121.35, 62.90, 20.70, 14.17. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_2\text{H}^+$ 268.1332, found 268.1336.



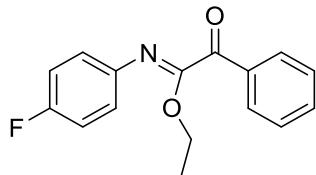
Ethyl-*N*-(4-methoxyphenyl)-2-oxo-2-phenylacetimidate (3ca)

Yellow oil ($m = 42\text{mg}$, 74%); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 7.82- 7.79 (m, 2H), 7.55 (t, $J = 7.4 \text{ Hz}$, 1H), 7.42 (t, $J = 7.7 \text{ Hz}$, 2H), 6.78 (d, $J = 8.8 \text{ Hz}$, 2H), 6.66 (d, $J = 8.8 \text{ Hz}$, 2H), 4.49 (q, $J = 7.1 \text{ Hz}$, 2H), 3.67 (s, 3H), 1.44 (t, $J = 7.1 \text{ Hz}$, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ : 190.65, 156.93, 156.12, 138.46, 134.41, 133.51, 129.49, 128.75, 122.51, 114.02, 62.91, 55.20, 14.15. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_3\text{H}^+$ 284.1281, found 284.1279.



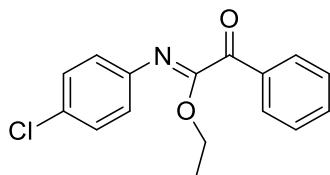
Ethyl-*N*-([1,1'-biphenyl]-4-yl)-2-oxo-2-phenylacetimidate (3da)

Yellow solid ($m = 57 \text{ mg}$, 87%); m.p. : 113.4-117.0 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 7.82 (d, $J = 7.5 \text{ Hz}$, 2H), 7.53 (t, $J = 7.4 \text{ Hz}$, 1H), 7.46 – 7.39 (m, 4H), 7.36 – 7.32 (m, 4H), 7.24 (t, $J = 7.3 \text{ Hz}$, 1H), 6.89 (d, $J = 8.2 \text{ Hz}$, 2H), 4.51 (q, $J = 7.1 \text{ Hz}$, 2H), 1.45 (t, $J = 7.1 \text{ Hz}$, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ : 190.05, 156.92, 144.72, 140.49, 136.54, 134.49, 133.56, 129.53, 128.77, 128.57, 127.38, 126.81, 126.61, 121.89, 63.09, 14.13. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{22}\text{H}_{19}\text{NO}_2\text{H}^+$ 330.1489, found 330.1492.



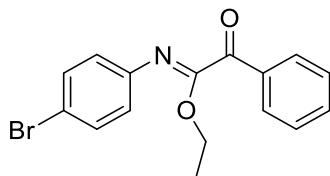
Ethyl-N-(4-fluorophenyl)-2-oxo-2-phenylacetimidate (3ea)

Yellow oil ($m = 47$ mg, 86%); **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ : 7.85 - 7.81 (m, 2H), 7.15 – 7.07 (m, 4H), 6.94 (t, $J = 7.4$ Hz, 1H), 6.82 (d, $J = 7.6$ Hz, 2H), 4.50 (q, $J = 7.1$ Hz, 2H), 1.46 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ : 188.44, 166.40 (d, $J = 258.9$ Hz), 156.59, 145.31, 132.27 (d, $J = 9.8$ Hz), 130.12, 128.79, 123.95, 121.44, 116.12 (d, $J = 22.3$ Hz), 63.13, 14.13. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{16}\text{H}_{14}\text{FNO}_2\text{H}^+$ 272.1082, found 272.1086.



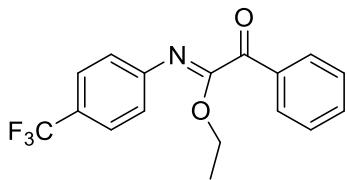
Ethyl-N-(4-chlorophenyl)-2-oxo-2-phenylacetimidate (3fa)

Yellow oil ($m = 48$ mg, 84%); **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ : 7.80 (d, $J = 7.4$ Hz, 2H), 7.58 (t, $J = 7.6$ Hz, 1H), 7.44 (t, $J = 7.7$ Hz, 2H), 7.08 (d, $J = 8.6$ Hz, 2H), 6.76 (d, $J = 8.6$ Hz, 2H), 4.49 (q, $J = 7.1$ Hz, 2H), 1.45 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ : 189.64, 157.38, 144.13, 134.66, 133.44, 129.49, 129.14, 128.87, 128.80, 122.82, 63.22, 14.10. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{16}\text{H}_{14}\text{ClNO}_2\text{H}^+$ 288.0786, found 288.0784.



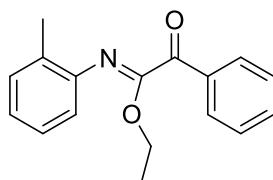
Ethyl-N-(4-bromophenyl)-2-oxo-2-phenylacetimidate (3ga)

Yellow oil ($m = 50$ mg, 76%); **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ : 7.79 (d, $J = 7.5$ Hz, 2H), 7.58 (t, $J = 7.4$ Hz, 1H), 7.44 (t, $J = 7.7$ Hz, 2H), 7.22 (d, $J = 8.5$ Hz, 2H), 6.70 (d, $J = 8.4$ Hz, 2H), 4.48 (q, $J = 7.1$ Hz, 2H), 1.44 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ : 189.58, 157.32, 144.64, 134.69, 133.46, 131.75, 129.51, 128.89, 123.26, 116.90, 63.25, 14.11. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{16}\text{H}_{14}\text{BrNO}_2\text{H}^+$ 332.0281, found 332.0284.



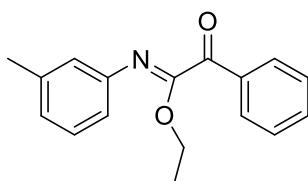
Ethyl-2-oxo-2-phenyl-N-(4-(trifluoromethyl)phenyl)acetimidate (3ha)

Yellow oil ($m = 44$ mg, 68%); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 7.82 (d, $J = 7.4$ Hz, 2H), 7.62 – 7.58 (m, 1H), 7.46 (t, $J = 7.7$ Hz, 2H), 7.39 (d, $J = 8.3$ Hz, 2H), 6.91 (d, $J = 8.2$ Hz, 2H), 4.52 (q, $J = 7.1$ Hz, 2H), 1.47 (t, $J = 7.1$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ : 189.05, 157.38, 148.91, 134.78, 133.49, 129.53, 128.93, 125.98 (q, $J = 3.7$ Hz), 122.86, 121.63, 63.43, 14.08. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{14}\text{F}_3\text{NO}_2\text{H}^+$ 332.1049, found 332.1050.



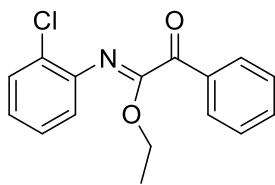
Ethyl-2-oxo-2-phenyl-N-(o-tolyl)acetimidate (3ia)

Yellow oil ($m = 46$ mg, 87%); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 7.83 (d, $J = 7.5$ Hz, 2H), 7.57 (t, $J = 7.4$ Hz, 1H), 7.44 (t, $J = 7.7$ Hz, 2H), 7.06 (d, $J = 7.1$ Hz, 1H), 6.93 – 6.85 (m, 2H), 6.64 (d, $J = 7.4$ Hz, 1H), 4.56 (q, $J = 7.1$ Hz, 2H), 2.27 (s, 3H), 1.49 (t, $J = 7.1$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ : 189.75, 155.84, 143.98, 134.34, 133.81, 130.12, 129.75, 129.29, 128.65, 126.01, 123.86, 120.38, 62.87, 18.09, 14.23. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_2\text{H}^+$ 268.1332, found 268.1335.



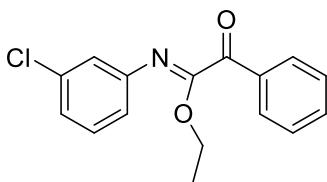
Ethyl-2-oxo-2-phenyl-N-(m-tolyl)acetimidate (3ja)

Yellow oil ($m = 45$ mg, 84%); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 7.82 (d, $J = 7.5$ Hz, 2H), 7.56 (t, $J = 7.4$ Hz, 1H), 7.43 (t, $J = 7.7$ Hz, 2H), 6.99 (t, $J = 7.7$ Hz, 1H), 6.74 (d, $J = 7.5$ Hz, 1H), 6.68 (s, 1H), 6.62 (d, $J = 7.7$ Hz, 1H), 4.50 (q, $J = 7.1$ Hz, 2H), 2.20 (s, 3H), 1.46 (t, $J = 7.1$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ : 190.08, 156.66, 145.35, 138.50, 134.34, 133.74, 129.52, 128.70, 128.52, 124.63, 122.31, 118.43, 62.93, 21.19, 14.15. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_2\text{H}^+$ 268.1332, found 268.1334.



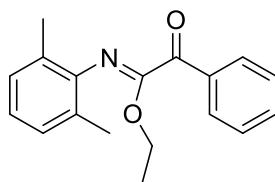
Ethyl-N-(2-chlorophenyl)-2-oxo-2-phenylacetimidate (3ka)

Yellow oil ($m = 51$ mg, 89%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.86 (d, $J = 7.6$ Hz, 2H), 7.58 – 7.54 (m, 1H), 7.43 (t, $J = 7.7$ Hz, 2H), 7.22 (dd, $J_1 = 7.9$ Hz, $J_2 = 1.1$ Hz, 1H), 7.04 – 7.00 (m, 1H), 6.88 – 6.82 (m, 2H), 4.59 (q, $J = 7.1$ Hz, 2H), 1.50 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 188.88, 157.19, 142.69, 134.56, 133.17, 129.51, 129.47, 128.67, 126.99, 126.30, 124.73, 122.62, 63.57, 14.11. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{16}\text{H}_{14}\text{ClNO}_2\text{H}^+$ 288.0786, found 288.0784.



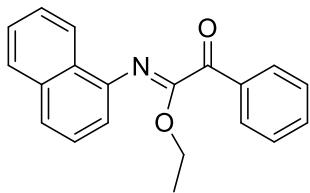
Ethyl-N-(3-chlorophenyl)-2-oxo-2-phenylacetimidate (3la)

Yellow oil ($m = 49$ mg, 86%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.81 (d, $J = 7.6$ Hz, 2H), 7.58 (t, $J = 7.4$ Hz, 1H), 7.45 (t, $J = 7.7$ Hz, 2H), 7.03 (t, $J = 7.9$ Hz, 1H), 6.89 (t, $J = 9.5$ Hz, 2H), 6.70 (d, $J = 7.8$ Hz, 1H), 4.49 (q, $J = 7.1$ Hz, 2H), 1.45 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.32, 157.42, 146.84, 134.65, 134.21, 133.47, 129.69, 129.47, 128.85, 123.89, 121.84, 119.70, 63.28, 14.07. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{16}\text{H}_{14}\text{ClNO}_2\text{H}^+$ 288.0786, found 288.0788.



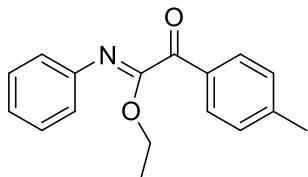
Ethyl-N-(2,6-dimethylphenyl)-2-oxo-2-phenylacetimidate (3ma)

Yellow oil ($m = 40$ mg, 72%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.81 (d, $J = 7.5$ Hz, 2H), 7.58 – 7.55 (m, 1H), 7.43 (t, $J = 7.7$ Hz, 2H), 6.91 (d, $J = 7.6$ Hz, 1H), 6.66 (d, $J = 7.5$ Hz, 1H), 6.44 (s, 1H), 4.53 (q, $J = 7.1$ Hz, 2H), 2.20 (s, 3H), 2.10 (s, 3H), 1.48 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.81, 155.62, 143.78, 135.54, 134.26, 133.97, 129.94, 129.31, 128.62, 126.51, 124.55, 121.23, 62.83, 20.73, 17.65, 14.27. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{18}\text{H}_{19}\text{NO}_2\text{H}^+$ 282.1489, found 282.1492.



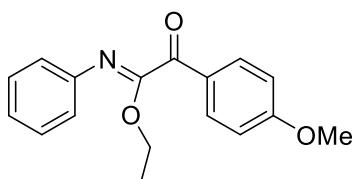
Ethyl-N-(naphthalen-1-yl)-2-oxo-2-phenylacetimidate (3na)

Yellow solid ($m = 56$ mg, 93%); m.p. : 76.6-82.5 °C; **1H NMR** (400 MHz, CDCl₃) δ: 8.17 (d, $J = 8.2$ Hz, 1H), 7.74 (d, $J = 7.6$ Hz, 2H), 7.68 (d, $J = 8.0$ Hz, 1H), 7.50 – 7.46 (m, 1H), 7.44 – 7.36 (m, 3H), 7.25 – 7.21 (m, 2H), 7.13 (t, $J = 7.8$ Hz, 1H), 6.78 (d, $J = 7.2$ Hz, 1H), 4.66 (q, $J = 7.1$ Hz, 2H), 1.52 (t, $J = 7.1$ Hz, 3H); **13C NMR** (101 MHz, CDCl₃) δ: 190.01, 156.72, 141.57, 134.26, 133.90, 133.40, 129.11, 128.51, 127.81, 127.71, 126.02, 125.44, 125.38, 124.14, 123.73, 116.17, 63.36, 14.30. HRMS (EI) [M+H]⁺ m/z Calcd for C₂₀H₁₇NO₂H⁺ 304.1332, found 304.1330.



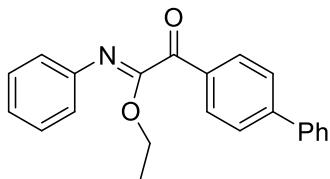
Ethyl-2-oxo-N-phenyl-2-(p-tolyl)acetimidate (3oa)

Yellow oil ($m = 45$ mg, 85%); **1H NMR** (400 MHz, CDCl₃) δ: 7.72 (d, $J = 8.1$ Hz, 2 H), 7.22 (d, $J = 8.0$ Hz, 2H), 7.13 (t, $J = 7.8$ Hz, 2H), 6.93 (t, $J = 7.4$ Hz, 1H), 6.85 (d, $J = 7.7$ Hz, 2H), 4.50 (q, $J = 7.1$ Hz, 2H), 2.38 (s, 3H), 1.45 (t, $J = 7.1$ Hz, 3H); **13C NMR** (101 MHz, CDCl₃) δ: 189.61, 157.09, 145.65, 145.53, 131.19, 129.66, 129.48, 128.69, 123.73, 121.49, 62.90, 21.79, 14.13. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₇H₁₇NO₂H⁺ 268.1332, found 268.1335.



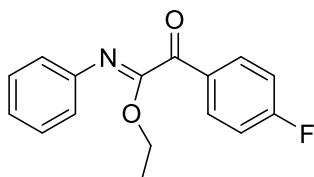
Ethyl-2-(4-methoxyphenyl)-2-oxo-N-phenylacetimidate (3pa)

Yellow oil ($m = 45$ mg, 80%); **1H NMR** (400 MHz, CDCl₃) δ: 7.78 (d, $J = 8.8$ Hz, 2H), 7.13 (t, $J = 7.7$ Hz, 2H), 6.94 – 6.84 (m, 5H), 4.49 (q, $J = 7.1$ Hz, 2H), 3.83 (s, 3H), 1.45 (t, $J = 7.1$ Hz, 3H); **13C NMR** (101 MHz, CDCl₃) δ: 188.44, 164.55, 157.25, 145.61, 131.99, 128.68, 126.68, 123.70, 121.47, 114.06, 62.86, 55.48, 14.12. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₇H₁₇NO₃H⁺ 284.1281, found 284.1279.



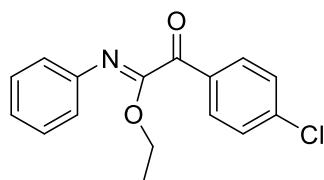
Ethyl-2-([1,1'-biphenyl]-4-yl)-2-oxo-N-phenylacetimidate (3qa)

Yellow oil ($m = 47$ mg, 71%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.90 (d, $J = 8.2$ Hz, 2H), 7.66 (d, $J = 8.4$ Hz, 2H), 7.62 – 7.60 (m, 2H), 7.50 – 7.46 (m, 2H), 7.44 – 7.40 (m, 1H), 7.16 (t, $J = 7.8$ Hz, 2H), 6.95 (t, $J = 7.4$ Hz, 1H), 6.89 (d, $J = 7.7$ Hz, 2H) 4.54 (q, $J = 7.1$ Hz, 2H), 1.49 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.59, 156.93, 147.08, 145.50, 139.47, 132.34, 130.12, 128.96, 128.78, 128.51, 127.39, 127.27, 123.85, 121.54, 63.03, 14.17. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{22}\text{H}_{19}\text{NO}_2\text{H}^+$ 330.1489, found 330.1492.



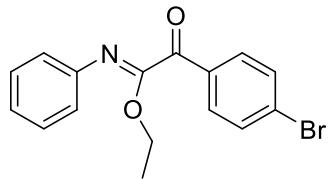
Ethyl-2-(4-fluorophenyl)-2-oxo-N-phenylacetimidate (3ra)

Yellow oil ($m = 38$ mg, 71%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.85 – 7.81 (m, 2H), 7.15 – 7.07 (m, 4H), 6.94 (t, $J = 7.4$ Hz, 1H), 6.82 (d, $J = 7.6$ Hz, 2H), 4.50 (q, $J = 7.1$ Hz, 2H), 1.46 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 188.45, 166.40 (d, $J = 258.6$ Hz), 156.59, 145.30, 132.26 (d, $J = 9.7$ Hz), 130.07, 128.79, 123.95, 121.43, 116.12 (d, $J = 22.5$ Hz), 63.13, 14.13. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{16}\text{H}_{14}\text{FNO}_2\text{H}^+$ 272.1081, found 272.1082.



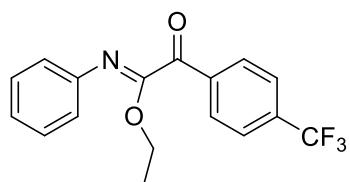
Ethyl-2-(4-chlorophenyl)-2-oxo-N-phenylacetimidate (3sa)

Yellow solid ($m = 46$ mg, 81%); m.p. : 52.3 – 56.7 °C; **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.74 (d, $J = 8.4$ Hz, 2H), 7.40 (d, $J = 8.6$ Hz, 2H), 7.13 (t, $J = 7.8$ Hz, 2H), 6.94 (t, $J = 7.4$ Hz, 1H), 6.82 (d, $J = 7.6$ Hz, 2H), 4.50 (q, $J = 7.1$ Hz, 2H), 1.46 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 188.84, 156.40, 145.22, 141.02, 131.94, 130.77, 129.18, 128.81, 123.99, 121.42, 63.16, 14.11. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{16}\text{H}_{14}\text{ClNO}_2\text{H}^+$ 288.0785, found 288.0787.



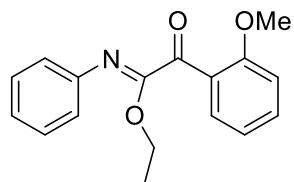
Ethyl-2-(4-bromophenyl)-2-oxo-N-phenylacetimidate (3ta)

Yellow oil ($m = 53$ mg, 80%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.66 (d, $J = 8.5$ Hz, 2H), 7.57 (d, $J = 8.6$ Hz, 2H), 7.13 (t, $J = 7.8$ Hz, 2H), 6.95 (t, $J = 7.4$ Hz, 1H), 6.81 (d, $J = 7.6$ Hz, 2H), 4.50 (q, $J = 7.1$ Hz, 2H), 1.45 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.08, 156.37, 145.19, 132.33, 132.17, 130.82, 129.94, 128.83, 124.01, 121.42, 63.18, 14.13. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{16}\text{H}_{14}\text{BrNO}_2\text{H}^+$ 332.0281, found 332.0284.



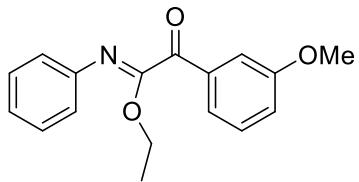
Ethyl-2-oxo-N-phenyl-2-(4-(trifluoromethyl)phenyl)acetimidate (3ua)

Yellow solid ($m = 40$ mg, 63%); m.p. : 62.6 - 64.6 °C; **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.91 (d, $J = 8.1$ Hz, 2H), 7.69 (d, $J = 8.3$ Hz, 2H), 7.14 (t, $J = 7.8$ Hz, 2H), 6.95 (t, $J = 7.4$ Hz, 1H), 6.81 (d, $J = 7.7$ Hz, 2H), 4.52 (q, $J = 7.1$ Hz, 2H), 1.47 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.11, 156.07, 145.05, 136.18, 135.40 (q, $J = 32.9$ Hz), 129.73, 128.89, 125.84 (q, $J = 3.77$ Hz), 124.14, 121.42, 63.35, 14.12. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{14}\text{F}_3\text{NO}_2\text{H}^+$ 322.1049, found 322.1051.



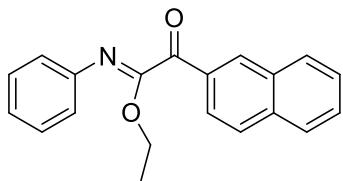
Ethyl-2-(2-methoxyphenyl)-2-oxo-N-phenylacetimidate (3va)

Yellow oil ($m = 50$ mg, 89%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.63 (d, $J = 7.0$ Hz, 1H), 7.50 – 7.46 (m, 1H), 7.11 (t, $J = 7.7$ Hz, 2H), 6.92 (q, $J = 7.9$ Hz, 3H), 6.82 (d, $J = 7.8$ Hz, 2H), 4.43 (q, $J = 7.1$ Hz, 2H), 3.95 (s, 3H), 1.43 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 188.32, 159.58, 158.18, 146.37, 135.62, 130.87, 128.57, 124.36, 123.28, 121.49, 120.81, 111.57, 62.76, 55.64, 14.16. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_3\text{H}^+$ 284.1281, found 284.1282.



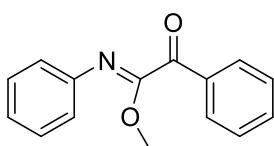
Ethyl-2-(3-methoxyphenyl)-2-oxo-N-phenylacetimidate (3wa)

Yellow oil ($m = 41$ mg, 73%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.40 (d, $J = 7.6$ Hz, 1H), 7.36 – 7.32 (m, 2H), 7.15 – 7.09 (m, 3H), 6.94 (t, $J = 7.4$ Hz, 1H), 6.84 (d, $J = 7.7$ Hz, 2H), 4.50 (q, $J = 7.1$ Hz, 2H), 3.81 (s, 3H), 1.46 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.85, 159.77, 156.82, 145.42, 134.89, 129.75, 128.74, 123.82, 122.77, 121.50, 121.35, 112.66, 63.01, 55.37, 14.13. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_3\text{H}^+$ 284.1281, found 284.1280.



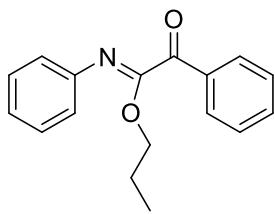
Ethyl-2-(naphthalen-2-yl)-2-oxo-N-phenylacetimidate (3xa)

White solid ($m = 50$ mg, 82%); m.p. : 92.3 – 94.6 °C; **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 8.31 (s, 1H), 7.93 (d, $J = 8.0$ Hz, 1H), 7.86 – 7.80 (m, 3H), 7.60 – 7.51 (m, 2H), 7.07 (t, $J = 7.8$ Hz, 2H), 6.87 (d, $J = 7.2$ Hz, 3H), 4.55 (q, $J = 7.1$ Hz, 2H), 1.47 (t, $J = 7.1$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 190.02, 157.02, 145.52, 136.13, 132.76, 132.26, 130.97, 129.75, 129.23, 128.77, 128.74, 127.83, 126.97, 123.81, 123.67, 121.45, 63.07, 14.16. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{20}\text{H}_{17}\text{NO}_2\text{H}^+$ 304.1332, found 304.1336.



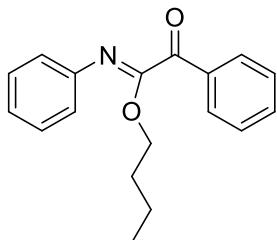
Methyl-2-oxo-N,2-diphenylacetimidate (3ab)

Yellow oil ($m = 35$ mg, 73%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.80 (d, $J = 7.4$ Hz, 2H), 7.58 – 7.55 (m, 1H), 7.43 (t, $J = 7.7$ Hz, 2H), 7.13 (t, $J = 7.8$ Hz, 2H), 6.94 (t, $J = 7.4$ Hz, 1H), 6.84 (d, $J = 7.6$ Hz, 2H), 4.04 (s, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.91, 157.21, 145.22, 134.51, 133.56, 129.52, 128.76, 123.95, 121.48, 54.03. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{15}\text{H}_{13}\text{NO}_2\text{H}^+$ 240.1019, found 240.1021.



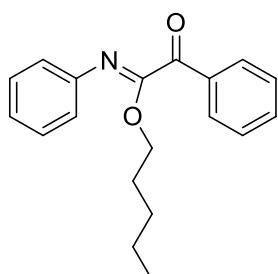
Propyl-2-oxo-N,2-diphenylacetimidate (3ac)

Yellow oil ($m = 43$ mg, 81%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.82 (d, $J = 7.5$ Hz, 2H), 7.56 (t, $J = 7.4$ Hz, 1H), 7.44 (t, $J = 7.8$ Hz, 2H), 7.13 (t, $J = 7.8$ Hz, 2H), 6.93 (t, $J = 7.4$ Hz, 1H), 6.84 (d, $J = 7.7$ Hz, 2H), 4.41 (t, $J = 6.7$ Hz, 2H), 1.91 – 1.82 (m, 2H), 1.05 (t, $J = 7.4$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.98, 157.08, 145.45, 134.41, 133.65, 129.50, 128.73, 128.72, 123.79, 121.48, 68.70, 21.87, 10.50. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_2\text{H}^+$ 268.1332, found 268.1330.



Butyl-2-oxo-N,2-diphenylacetimidate (3ad)

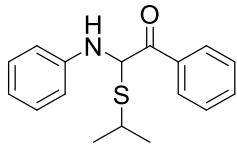
Yellow oil ($m = 44$ mg, 78%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.81 (d, $J = 7.6$ Hz, 2H), 7.56 (t, $J = 7.4$ Hz, 1H), 7.43 (t, $J = 7.7$ Hz, 2H), 7.12 (t, $J = 7.7$ Hz, 2H), 6.93 (t, $J = 7.3$ Hz, 1H), 6.84 (d, $J = 7.7$ Hz, 2H), 4.45 (t, $J = 6.7$ Hz, 2H), 1.85 – 1.78 (m, 2H), 1.54 – 1.45 (m, 2H), 0.99 (t, $J = 7.4$ Hz, 3H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 190.05, 157.16, 145.51, 134.47, 133.70, 129.56, 128.78, 123.85, 121.55, 67.04, 30.60, 19.27, 13.81. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{18}\text{H}_{19}\text{NO}_2\text{H}^+$ 282.1489, found 282.1491.



Pentyl-2-oxo-N,2-diphenylacetimidate (3ae)

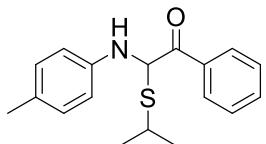
Yellow oil ($m = 31$ mg, 52%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 7.81 (d, $J = 7.5$ Hz, 2H), 7.56 (t, $J = 7.4$ Hz, 1H), 7.43 (t, $J = 7.7$ Hz, 2H), 7.12 (t, $J = 7.8$ Hz, 2H), 6.93 (t, $J = 7.4$ Hz,

1H), 6.83 (d, $J = 7.6$ Hz, 2H), 4.44 (t, $J = 6.7$ Hz, 2H), 1.87 – 1.80 (m, 2H), 1.43 – 1.41 (m, 4H), 0.94 (t, $J = 7.1$ Hz, 3H); **^{13}C NMR (101 MHz, CDCl_3)** δ : 190.00, 157.10, 145.47, 134.41, 133.68, 129.52, 128.73, 123.80, 121.51, 67.26, 28.20, 28.12, 22.34, 13.95. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{19}\text{H}_{21}\text{NO}_2\text{H}^+$ 296.1644, found 296.1646.



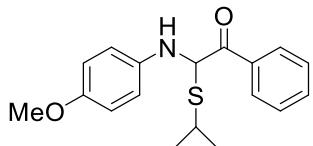
2-(Isopropylthio)-1-phenyl-2-(phenylamino)ethan-1-one (5aa)

Yellow solid ($m = 31$ mg, 91 %); m.p. : 94.5 – 97.9 °C; **^1H NMR (400 MHz, CDCl_3)** δ : 8.08 – 8.05 (m, 2H), 7.64 – 7.60 (m, 1H), 7.52 (t, $J = 7.6$ Hz, 2H), 7.30 – 7.26 (m, 2H), 6.92 – 6.89 (m, 2H), 6.85 (t, $J = 7.3$ Hz, 1H), 6.06 (s, 1H), 5.36 (s, 1H), 2.97 – 2.87 (m, 1H), 1.13 – 1.11 (m, 6H); **^{13}C NMR (101 MHz, CDCl_3)** δ : 191.02, 143.73, 134.22, 133.56, 129.26, 128.72, 128.62, 118.78, 114.33, 59.84, 33.06, 25.06, 24.36. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{19}\text{NOSH}^+$ 286.1260, found 286.1265.



2-(Isopropylthio)-1-phenyl-2-(p-tolylamino)ethan-1-one (5ba)

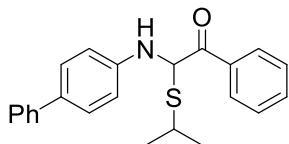
Yellow solid ($m = 51$ mg, 86%); m.p. : 90.0 – 92.8 °C; **^1H NMR (400 MHz, CDCl_3)** δ : 8.04 – 8.01 (m, 2H), 7.58 – 7.54 (m, 1H), 7.48 – 7.44 (m, 2H), 7.06 (d, $J = 8.1$ Hz, 2H), 6.80 – 6.78 (m, 2H), 6.03 (d, $J = 7.2$ Hz, 1H), 5.22 (d, $J = 6.8$ Hz, 1H), 2.93 – 2.83 (m, 1H), 2.26 (s, 3H), 1.08 (q, $J = 3.5$ Hz, 6H); **^{13}C NMR (101 MHz, CDCl_3)** δ : 190.94, 141.32, 134.26, 133.42, 129.74, 128.63, 128.56, 127.92, 114.39, 60.18, 32.93, 25.05, 24.34, 20.44. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{18}\text{H}_{21}\text{NOSH}^+$ 300.1417, found 300.1419.



2-(Isopropylthio)-2-((4-methoxyphenyl)amino)-1-phenylethan-1-one (5ca)

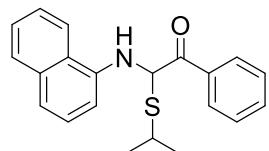
Yellow solid ($m = 41$ mg, 65%); m.p. : 99.2 – 98.6 °C; **^1H NMR (400 MHz, CDCl_3)** δ : 8.07 – 8.05 (m, 2H), 7.53 – 7.59 (m, 1H), 7.53 – 7.49 (m, 2H), 7.09 (d, $J = 8.1$ Hz, 2H), 6.83 (d, J

δ = 8.4 Hz, 2H), 6.06 (d, J = 7.8 Hz, 1H), 5.22 (d, J = 7.7 Hz, 1H), 2.96 – 2.86 (m, 1H), 2.29 (s, 3H), 1.12 (q, J = 3.6 Hz, 6H); **^{13}C NMR (101 MHz, CDCl₃)** δ : 191.06, 141.39, 134.34, 133.49, 129.80, 128.70, 128.61, 128.03, 114.43, 60.27, 33.03, 25.09, 24.38, 20.48. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₈H₂₁NO₂SH⁺ 316.1366, found 316.1365.



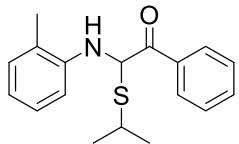
2-((1,1'-Biphenyl)-4-ylamino)-2-(isopropylthio)-1-phenylethan-1-one (5da)

Yellow solid (m = 64 mg, 85%); m.p. : 68.1 – 74.6 °C; **^1H NMR (400 MHz, CDCl₃)** δ : 8.10 (d, J = 7.5 Hz, 2H), 7.65 – 7.60 (m, 3H), 7.57 – 7.52 (m, 4H), 7.44 (t, J = 7.7 Hz, 2H), 7.31 (t, J = 7.3 Hz, 1H), 6.99 (d, J = 8.4 Hz, 2H), 6.12 (d, J = 7.8 Hz, 1H), 5.48 (d, J = 7.2 Hz, 1H), 3.01 - 2.91 (m, 1H), 1.16 (t, J = 7.0 Hz, 6H); **^{13}C NMR (101 MHz, CDCl₃)** δ : 191.03, 143.17, 141.06, 134.20, 133.69, 131.72, 128.80, 128.72, 127.99, 126.43, 126.35, 114.67, 59.85, 33.20, 25.18, 24.44. HRMS (EI) [M+H]⁺ m/z Calcd for C₂₃H₂₃NOSH⁺ 362.1573, found 362.1575.



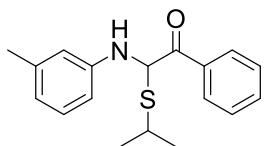
2-(Isopropylthio)-2-(naphthalen-1-ylamino)-1-phenylethan-1-one (5ea)

Yellow solid (m = 56 mg, 83%); m.p. : 68.8 – 72.5 °C; **^1H NMR (400 MHz, CDCl₃)** δ : 8.15 – 8.13 (m, 2H), 8.04 – 8.02 (m, 1H), 7.87 – 7.85 (m, 1H), 7.66 – 7.62 (m, 1H), 7.56 – 7.51 (m, 4H), 7.46 (t, J = 7.9 Hz, 1H), 7.37 (d, J = 8.2 Hz, 1H), 7.01 (d, J = 7.4 Hz, 1H), 6.22 (s, 2H), 3.02 - 2.91 (m, 1H), 1.15 (d, J = 6.8 Hz, 3H); **^{13}C NMR (101 MHz, CDCl₃)** δ : 191.28, 138.75, 134.34, 134.13, 133.65, 128.75, 128.71, 126.31, 125.87, 125.15, 123.56, 119.74, 118.67, 107.00, 59.86, 33.24, 24.98, 24.39. HRMS (EI) [M+Na]⁺ m/z Calcd for C₂₁H₂₁NOSNa⁺ 358.1236, found 358.1238.



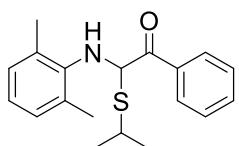
2-(Isopropylthio)-1-phenyl-2-(*o*-tolylamino)ethan-1-one (5fa)

Yellow oil (m = 54 mg, 90%); **¹H NMR (400 MHz, CDCl₃)** δ: 8.09 – 8.07 (m, 2H), 7.65 – 7.61 (m, 1H), 7.54 – 7.51 (m, 2H), 7.23 (t, J = 7.7 Hz, 1H), 7.14 (d, J = 7.3 Hz, 1H), 7.01 (d, J = 7.9 Hz, 1H), 6.81 – 6.78 (m, 1H), 6.10 (d, J = 7.7 Hz, 1H), 5.34 (d, J = 7.6 Hz, 1H), 2.93 – 2.86 (m, 1H), 2.30 (s, 3H), 1.12 (q, J = 6.7 Hz, 6H), 1.06 (d, J = 6.9 Hz, 3H); **¹³C NMR (101 MHz, CDCl₃)** δ: 191.23, 141.73, 134.19, 133.56, 130.30, 128.72, 128.62, 126.99, 122.81, 118.34, 111.97, 59.70, 33.09, 24.90, 24.40, 17.37. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₈H₂₁NOSH⁺ 300.1417, found 300.1419.



2-(Isopropylthio)-1-phenyl-2-(*m*-tolylamino)ethan-1-one (5ga)

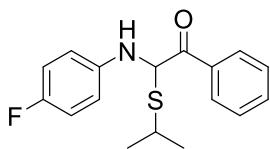
Yellow soild (m = 42 mg, 75%); m.p. : 96.5 – 102.2 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.08 – 8.06 (m, 2H), 7.64 – 7.59 (m, 1H), 7.53 – 7.49 (m, 2H), 7.19 – 7.15 (m, 1H), 6.73 – 6.66 (m, 3H), 6.06 (s, 1H), 5.30 (s, 1H), 2.98 - 2.87 (m, 1H), 2.35 (s, 3H), 1.13 (t, J = 6.7 Hz, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 191.05, 143.77, 139.06, 134.26, 133.51, 129.11, 128.70, 128.62, 119.72, 115.05, 111.42, 59.94, 33.10, 25.07, 24.36, 21.62. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₈H₂₁NOSH⁺ 300.1417, found 300.1416.



2-((2,6-Dimethylphenyl)amino)-2-(isopropylthio)-1-phenylethan-1-one (5ha)

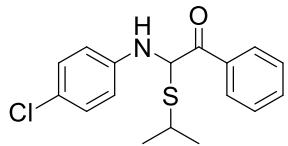
Yellow solid (m = 54 mg, 87%); m.p. : 64.7 – 72.2 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.11 – 8.09 (m, 2H), 7.63 (t, J = 7.4 Hz, 1H), 7.53 (t, J = 7.5 Hz, 2H), 7.02 (d, J = 7.4 Hz, 1H), 6.83 (s, 1H), 6.62 (d, J = 7.4 Hz, 1H), 6.10 (d, J = 7.6 Hz, 1H), 5.30 (d, J = 7.6 Hz, 1H), 2.96 – 2.86 (m, 1H), 2.39 (s, 3H), 2.26 (s, 3H), 1.15 – 1.11 (m, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 191.21, 141.60, 136.59, 134.23, 133.52, 130.12, 128.70, 128.63, 119.81, 119.01, 112.78,

59.78, 33.14, 24.93, 24.39, 21.54, 16.92. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₉H₂₃NOSH⁺ 314.1573, found 314.1572.



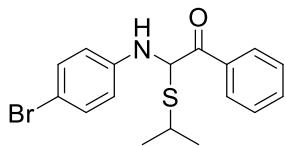
2-((4-fluorophenyl)amino)-2-(isopropylthio)-1-phenylethan-1-one (5ia)

Yellow solid (m = 46 mg, 76%); m.p. : 92.3 – 94.6 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.10 – 8.07 (m, 2H), 7.28 – 7.24 (m, 2H), 7.16 (t, J = 8.5 Hz, 2H), 6.88 – 6.81 (m, 3H), 5.99 (d, J = 7.9 Hz, 1H), 5.31 (d, J = 7.7 Hz, 1H), 2.94 - 2.83 (m, 1H), 1.09 (t, J = 6.4 Hz, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 189.61, 165.92 (d, J = 256.9 Hz), 143.62, 131.35 (d, J = 9.6 Hz), 130.44 (d, J = 3.0 Hz), 129.27, 118.87, 115.91 (d, J = 22.1 Hz), 114.33, 59.86, 33.11, 25.05, 24.35. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₇H₁₈FNOSH⁺ 304.1165, found 304.1167.



2-((4-Chlorophenyl)amino)-2-(isopropylthio)-1-phenylethan-1-one (5ja)

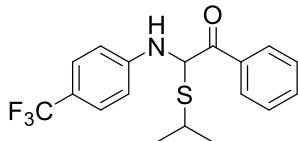
Yellow solid (m = 53 mg, 84%); m.p. : 108.5 – 113.7 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.07 – 8.04 (m, 2H), 7.64 – 7.60 (m, 1H), 7.53 – 7.49 (m, 2H), 7.27 – 7.21 (m, 2H), 6.85 – 6.81 (m, 2H), 5.99 (d, J = 4.5 Hz, 1H), 5.41 (d, J = 4.9 Hz, 1H), 2.93 - 2.82 (m, 1H), 1.10 (d, J = 6.8 Hz, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 190.81, 142.25, 133.99, 133.67, 129.13, 128.72, 128.62, 123.50, 115.44, 59.66, 33.05, 25.04, 24.32. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₇H₁₈ClNOSH⁺ 320.0871, found 320.0873.



2-((4-Bromophenyl)amino)-2-(isopropylthio)-1-phenylethan-1-one (5ka)

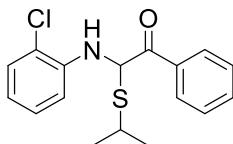
Yellow solid (m = 63 mg, 87%); m.p. : 79.5 – 84.1 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 7.91 (d, J = 8.6 Hz, 2H), 7.63 (d, J = 8.6 Hz, 2H), 7.28 – 7.24 (m, 2H), 6.88 – 6.81 (m, 3H), 5.97 (d, J = 7.9 Hz, 1H), 5.30 (d, J = 7.8 Hz, 1H), 2.91 – 2.81 (m, 1H), 1.10 – 1.07 (m, 6H); **¹³C**

NMR (101 MHz, CDCl₃) δ: 189.94, 143.53, 132.93, 132.05, 130.12, 129.28, 128.71, 118.92, 114.33, 59.89, 33.11, 25.04, 24.34. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₇H₁₈BrNOSH⁺ 364.0365, found 364.0366.



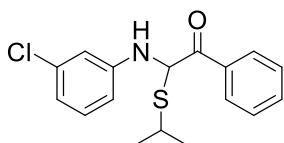
2-(Isopropylthio)-1-phenyl-2-((4-(trifluoromethyl)phenyl)amino)ethan-1-one (5la)

Yellow solid (m = 54 mg, 76%); m.p. : 110.9 – 113.9 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.09 – 8.07 (m, 2H), 7.66 – 7.62 (m, 1H), 7.53 (t, J = 7.7 Hz, 4H), 6.93 (d, J = 8.6 Hz, 2H), 6.04 (d, J = 7.4 Hz, 1H), 5.72 (d, J = 7.4 Hz, 1H), 2.95 – 2.85 (m, 1H), 1.11 (d, J = 6.8 Hz, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 190.80, 146.35, 133.84, 128.79, 128.70, 126.59 (q, J = 3.8 Hz), 126.15, 123.46, 120.41 (d, J = 32.8 Hz), 113.70, 59.04, 33.27, 25.02, 24.27. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₈H₁₈F₃NOSH⁺ 354.1134, found 354.1136.



2-((2-Chlorophenyl)amino)-2-(isopropylthio)-1-phenylethan-1-one (5ma)

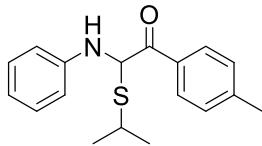
Yellow oil (m = 54 mg, 85%); **¹H NMR (400 MHz, CDCl₃)** δ: 8.09 – 8.07 (m, 2H), 7.65 – 7.60 (m, 1H), 7.54 – 7.50 (m, 2H), 7.35 – 7.32 (m, 1H), 7.27 – 7.23 (m, 1H), 7.06 – 7.04 (m, 1H), 6.80 – 6.76 (m, 1H), 6.10 (d, J = 7.6 Hz, 1H), 6.05 (d, J = 7.6 Hz, 1H), 2.95 – 2.85 (m, 1H), 1.12 – 1.08 (m, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 190.53, 139.85, 133.99, 133.65, 129.30, 128.73, 128.67, 127.58, 120.31, 118.81, 113.69, 59.22, 33.17, 24.94, 24.36. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₇H₁₈ClNOSH⁺ 320.0871, found 320.0870.



2-((3-Chlorophenyl)amino)-2-(isopropylthio)-1-phenylethan-1-one (5na)

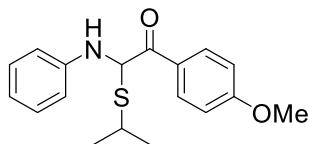
Yellow solid (m = 55 mg, 86%); m.p. : 77.7 – 81.5 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.07 – 8.05 (m, 2H), 7.64 – 7.60 (m, 1H), 7.53 – 7.50 (m, 2H), 7.17 (t, J = 8.0 Hz, 1H), 6.91 (t, J = 2.1 Hz, 1H), 6.82 – 6.75 (m, 2H), 5.99 (d, J = 7.7 Hz, 1H), 5.49 (d, J = 7.6 Hz, 1H), 2.94 – 2.84 (m, 1H), 1.12 (t, J = 6.4 Hz, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 190.77, 144.88, 134.96, 133.89, 133.70, 130.19, 128.73, 128.66, 118.63, 114.05, 112.61, 59.36, 33.15, 25.05,

24.30. HRMS (EI) $[M+H]^+$ m/z Calcd for $C_{17}H_{18}ClNOSH^+$ 320.0871, found 320.0873.



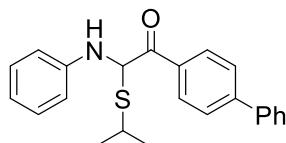
2-(Isopropylthio)-2-(phenylamino)-1-(*p*-tolyl)ethan-1-one (5oa)

Yellow solid ($m = 50$ mg, 84%); m.p. : $97.3 - 100.6$ °C; **1H NMR (400 MHz, CDCl₃)** δ : 7.97 (d, $J = 8.4$ Hz, 2H), 7.32 – 7.26 (m, 4H), 6.91 (d, $J = 7.7$ Hz, 2H), 6.84 (t, $J = 7.3$ Hz, 1H), 6.05 (d, $J = 7.6$ Hz, 1H), 5.38 (d, $J = 7.6$ Hz, 1H), 2.98 – 2.88 (m, 1H), 2.45 (s, 3H), 1.12 (dd, $J_1 = 6.9$ Hz, $J_2 = 2.9$ Hz, 6H); **^{13}C NMR (101 MHz, CDCl₃)** δ : 190.81, 144.53, 143.79, 131.54, 129.42, 129.22, 128.73, 118.67, 114.29, 59.68, 32.99, 25.05, 24.38, 21.69. HRMS (EI) $[M+H]^+$ m/z Calcd for $C_{18}H_{21}NOSH^+$ 300.1417, found 300.1420.



2-(Isopropylthio)-1-(4-methoxyphenyl)-2-(phenylamino)ethan-1-one (5pa)

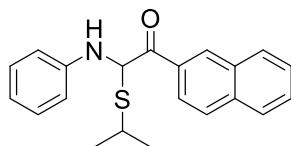
Yellow solid ($m = 42$ mg, 66%); m.p. : $108.3 - 111.5$ °C; **1H NMR (400 MHz, CDCl₃)** δ : 8.05 – 8.03 (m, 2H), 7.27 – 7.23 (m, 2H), 6.98 – 6.95 (m, 2H), 6.88 – 6.86 (m, 2H), 6.81 (t, $J = 7.3$ Hz, 1H), 6.00 (d, $J = 7.8$ Hz, 1H), 5.35 (d, $J = 7.8$ Hz, 1H), 3.88 (s, 3H), 2.97 – 2.86 (m, 1H), 1.10 (t, $J = 6.5$ Hz, 6H); **^{13}C NMR (101 MHz, CDCl₃)** δ : 190.04, 163.93, 143.90, 131.01, 129.24, 126.77, 118.63, 114.31, 113.96, 59.56, 55.50, 33.06, 25.08, 24.42. HRMS (EI) $[M+H]^+$ m/z Calcd for $C_{18}H_{21}NO_2SH^+$ 316.1366, found 316.1364.



1-([1,1'-Biphenyl]-4-yl)-2-(isopropylthio)-2-(phenylamino)ethan-1-one (5qa)

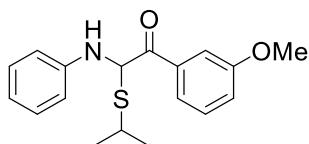
Yellow oil ($m = 52$ mg, 72%); m.p. : $164.5 - 168.3$ °C; **1H NMR (400 MHz, CDCl₃)** δ : 8.16 (d, $J = 8.3$ Hz, 2H), 7.74 (d, $J = 8.5$ Hz, 2H), 7.68 – 7.66 (m, 2H), 7.52 – 7.49 (m, 2H), 7.45 – 7.42 (m, 1H), 7.31 (t, $J = 7.6$ Hz, 2H), 6.94 (d, $J = 8.3$ Hz, 2H), 6.87 (t, $J = 7.3$ Hz, 1H), 6.12 (d, $J = 6.8$ Hz, 1H), 5.42 (d, $J = 6.8$ Hz, 1H), 3.02 – 2.94 (m, 1H), 1.16 (d, $J = 6.9$ Hz, 6H); **^{13}C NMR (101 MHz, CDCl₃)** δ : 190.56, 146.25, 143.73, 139.67, 132.80, 129.25, 129.21,

128.93, 128.31, 127.31, 127.24, 118.76, 114.33, 59.84, 33.06, 25.06, 24.40. HRMS (EI) [M+H]⁺ m/z Calcd for C₂₃H₂₃NOSH⁺ 362.1573, found 362.1574.



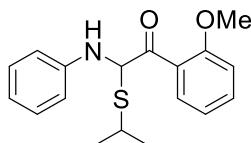
2-(Isopropylthio)-1-(naphthalen-2-yl)-2-(phenylamino)ethan-1-one (5ra)

Yellow solid (m = 62 mg, 92%); m.p. : 74.1 – 78.5 °C; ¹H NMR (400 MHz, CDCl₃) δ: 8.62 (s, 1H), 8.12 – 8.09 (m, 1H), 8.00 (d, J = 8.0 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.90 (d, J = 8.0 Hz, 1H), 7.65 – 7.56 (m, 2H), 7.34 – 7.30 (m, 2H), 6.98 (d, J = 8.4 Hz, 2H), 6.88 (t, J = 7.3 Hz, 1H), 6.23 (d, J = 2.6 Hz, 1H), 5.47 (s, 1H), 3.03 – 2.93 (m, 1H), 1.14 (t, J = 7.0 Hz, 6H); ¹³C NMR (101 MHz, CDCl₃) δ: 190.91, 143.75, 135.78, 132.44, 131.43, 130.19, 129.64, 129.26, 128.70, 128.56, 127.76, 126.87, 124.24, 118.77, 114.38, 59.96, 33.08, 25.07, 24.39. HRMS (EI) [M+H]⁺ m/z Calcd for C₂₁H₂₁NOSH⁺ 336.1417, found 336.1420.



2-(Isopropylthio)-1-(3-methoxyphenyl)-2-(phenylamino)ethan-1-one (5sa)

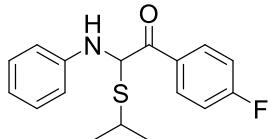
Yellow oil (m = 54 mg, 86%); ¹H NMR (400 MHz, CDCl₃) δ: 7.64 – 7.60 (m, 2H), 7.42 (t, J = 7.9 Hz, 1H), 7.30 – 7.26 (m, 2H), 7.17 – 7.15 (m, 1H), 6.91 (d, J = 7.7 Hz, 2H), 6.85 (t, J = 7.3 Hz, 1H), 6.10 (d, J = 4.5 Hz, 1H), 5.35 (s, 1H), 3.89 (s, 3H), 2.97 – 2.87 (m, 1H), 1.13 (q, J = 3.5 Hz, 6H); ¹³C NMR (101 MHz, CDCl₃) δ: 190.81, 159.87, 143.69, 135.59, 129.66, 129.23, 120.86, 119.77, 118.76, 114.30, 113.36, 59.89, 55.43, 33.05, 25.00, 24.36. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₈H₂₁NO₂SH⁺ 316.1366, found 316.1368.



2-(Isopropylthio)-1-(2-methoxyphenyl)-2-(phenylamino)ethan-1-one (5ta)

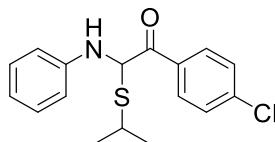
Yellow oil (m = 40 mg, 63%); m.p. : 72.0 – 76.4 °C; ¹H NMR (400 MHz, CDCl₃) δ: 7.93 – 7.91 (m, 1H), 7.53 – 7.48 (m, 1H), 7.25 (t, J = 7.9 Hz, 2H), 7.08 – 7.04 (m, 1H), 6.98 (d, J = 8.3 Hz, 1H), 6.86 (d, J = 7.7 Hz, 2H), 6.80 (t, J = 7.3 Hz, 1H), 6.29 (d, J = 7.2 Hz, 1H), 5.43

(d, $J = 7.2$ Hz, 1H), 3.94 (s, 3H), 2.87 – 2.77 (m, 1H), 1.09 (d, $J = 6.8$ Hz, 3H), 1.05 (d, J = 6.9 Hz, 3H); **^{13}C NMR (101 MHz, CDCl_3)** δ : 192.04, 158.29, 144.11, 134.06, 131.87, 129.20, 124.84, 121.05, 118.37, 114.14, 111.77, 64.16, 55.73, 32.22, 24.99, 24.27. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{18}\text{H}_{21}\text{NO}_2\text{SH}^+$ 316.1366, found 316.1367.



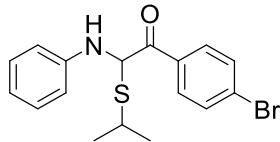
1-(4-Fluorophenyl)-2-(isopropylthio)-2-(phenylamino)ethan-1-one (5ua)

Yellow solid (m = 49 mg, 81%); m.p. : 92.9 – 98.2 °C; **^1H NMR (400 MHz, CDCl_3)** δ : 8.12 – 8.09 (m, 2H), 7.30 – 7.26 (m, 2H), 7.20 – 7.16 (m, 2H), 6.90 – 6.83 (m, 3H), 6.01 (s, 1H), 5.32 (s, 1H), 2.96 - 2.85 (m, 1H), 1.11 (t, $J = 6.5$ Hz, 6H); **^{13}C NMR (101 MHz, CDCl_3)** δ : 189.65, 165.94 (q, $J = 257.0$ Hz), 143.65, 131.36 (q, $J = 9.3$ Hz), 130.46 (q, $J = 3.2$ Hz), 129.29, 118.89, 115.92, (q, $J = 22.1$ Hz), 114.35, 59.89, 33.14, 25.05, 24.35. HRMS (EI) $[\text{M}+\text{Na}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{18}\text{FNOSNa}^+$ 326.0985, found 326.0988.



1-(4-Chlorophenyl)-2-(isopropylthio)-2-(phenylamino)ethan-1-one (5va)

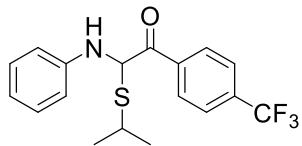
Yellow solid (m = 51 mg, 80%); m.p. : 98.7 – 101.6°C; **^1H NMR (400 MHz, CDCl_3)** δ : 8.02 – 8.00 (m, 2H), 7.50 – 7.47 (m, 2H), 7.30 – 7.26 (m, 2H), 6.91 – 6.84 (m, 3H), 6.01 (d, $J = 7.8$ Hz, 1H), 5.33 (d, $J = 7.6$ Hz, 1H), 2.94 - 2.84 (m, 1H), 1.13 – 1.10 (m, 6H); **^{13}C NMR (101 MHz, CDCl_3)** δ : 189.76, 143.54, 139.97, 132.49, 130.04, 129.27, 129.04, 118.90, 114.32, 59.89, 33.09, 25.04, 24.33. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{17}\text{H}_{18}\text{ClNOSH}^+$ 320.0871, found 320.0873.



1-(4-Bromophenyl)-2-(isopropylthio)-2-(phenylamino)ethan-1-one (5wa)

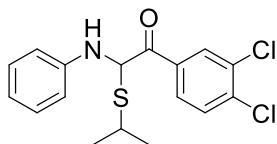
Yellow solid (m = 64 mg, 88%); m.p. : 94.1 – 100.7 °C; **^1H NMR (400 MHz, CDCl_3)** δ : 7.94 – 7.92 (m, 2H), 7.66 – 7.64 (m, 2H), 7.31 – 7.26 (m, 2H), 6.91 – 6.83 (m, 3H), 6.00 (s, 1H), 5.32 (s, 1H), 2.94 - 2.84 (m, 1H), 1.12 – 1.09 (m, 6H); **^{13}C NMR (101 MHz, CDCl_3)** δ : 189.94, 143.53, 132.94, 132.03, 130.11, 129.27, 128.69, 118.92, 114.33, 59.89, 33.11, 25.03,

24.33. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₇H₁₈BrNOSH⁺ 364.0365, found 364.0366.



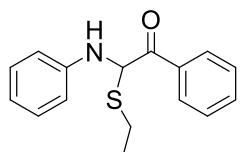
2-(Isopropylthio)-2-(phenylamino)-1-(4-(trifluoromethyl)phenyl)ethan-1-one (5xa)

Yellow solid (m = 49 mg, 70%); m.p. : 84.8 – 88.3 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.17 (d, J = 8.2 Hz, 2H), 7.78 (d, J = 8.3 Hz, 2H), 7.32 – 7.28 (m, 2H), 6.92 (d, J = 7.7 Hz, 2H), 6.87 (t, J = 7.34, 1H), 6.06 (d, J = 7.8 Hz, 1H), 5.34 (d, J = 7.8 Hz, 1H), 2.95 - 2.84 (m, 1H), 1.13 – 1.11 (m, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 189.61, 143.42, 137.23, 134.69 (q, J = 33.0 Hz), 129.32, 128.95, 125.75 (q, J = 3.6 Hz), 119.09, 114.38, 60.24, 33.14, 25.01, 24.28. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₈H₁₈F₃NOSH⁺ 354.1134, found 354.1133.



1-(3,4-Dichlorophenyl)-2-(isopropylthio)-2-(phenylamino)ethan-1-one (5ya)

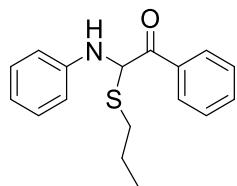
Yellow solid (m = 61 mg, 86%); m.p. : 83.8 – 87.5 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.16 (d, J = 2.0 Hz, 1H), 7.87 (dd, J₁ = 8.4 Hz, J₂ = 2.1 Hz, 1H), 7.58 (d, J = 8.4 Hz, 1H), 7.31 – 7.27 (m, 2H), 6.91 – 6.84 (m, 3H), 5.97 (s, 1H), 5.30 (s, 1H), 2.92 - 2.82 (m, 1H), 1.13 (q, J = 3.5 Hz, 6H); **¹³C NMR (101 MHz, CDCl₃)** δ: 188.53, 143.32, 138.05, 133.81, 133.45, 130.75, 130.58, 129.28, 127.55, 119.05, 114.35, 59.99, 33.16, 25.01, 24.30. HRMS (EI) [M+H]⁺ m/z Calcd for C₁₇H₁₇Cl₂NOSH⁺ 354.0481, found 354.0483.



2-(Ethylthio)-1-phenyl-2-(phenylamino)ethan-1-one (5ab)

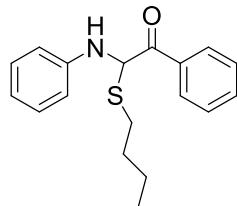
Yellow solid (m = 38 mg, 70%); m.p.: 64.5 – 66.9 °C; **¹H NMR (400 MHz, CDCl₃)** δ: 8.09 – 8.07 (m, 2H), 7.64 – 7.61 (m, 1H), 7.52 (t, J = 7.6 Hz, 2H), 7.32 – 7.27 (m, 2H), 6.92 (d, J = 7.7 Hz, 2H), 6.86 (t, J = 7.3 Hz, 1H), 6.07 (d, J = 7.7 Hz, 1H), 5.37 (d, J = 7.7 Hz, 1H), 2.41 - 2.33 (m, 2H), 1.08 (t, J = 7.5 Hz, 3H); **¹³C NMR (101 MHz, CDCl₃)** δ: 189.79, 143.43,

134.00, 133.58, 129.26, 128.71, 128.60, 118.83, 114.36, 59.03, 21.11, 13.96. HRMS (EI) $[M+Na]^+$ m/z Calcd for $C_{16}H_{17}NOSNa^+$ 294.0923, found 294.0925.



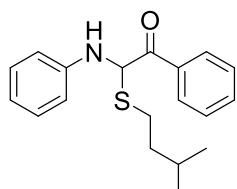
1-Phenyl-2-(phenylamino)-2-(propylthio)ethan-1-one (5ac)

Yellow solid ($m = 36$ mg, 64%); m.p.: 68.9 – 72.2 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 8.08 – 8.06 (m, 2H), 7.64 – 7.60 (m, 1H), 7.54 – 7.50 (m, 2H), 7.31 – 7.27 (m, 2H), 6.92 (d, $J = 7.7$ Hz, 2H), 6.86 (t, $J = 7.3$ Hz, 1H), 6.06 (d, $J = 7.6$ Hz, 1H), 5.35 (d, $J = 7.5$ Hz, 1H), 2.34 – 2.29 (m, 2H), 1.46 – 1.37 (m, 2H), 0.82 (t, $J = 7.3$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ : 189.82, 143.45, 134.07, 133.56, 129.25, 128.71, 128.60, 118.83, 114.40, 58.93, 28.94, 22.38, 13.58. HRMS (EI) $[M+H]^+$ m/z Calcd for $C_{17}H_{19}NOSH^+$ 286.1259, found 286.1260.



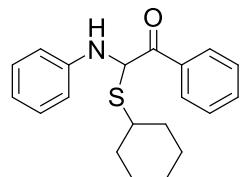
2-(Butylthio)-1-phenyl-2-(phenylamino)ethan-1-one (5ad)

Yellow solid ($m = 40$ mg, 67%); m.p.: 65.0 – 66.9 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 8.08 – 8.06 (m, 2H), 7.64 – 7.60 (m, 1H), 7.52 (t, $J = 7.6$ Hz, 2H), 7.31 – 7.27 (m, 2H), 6.92 (d, $J = 7.8$ Hz, 2H), 6.86 (t, $J = 7.3$ Hz, 1H), 6.06 (d, $J = 7.7$ Hz, 1H), 5.34 (d, $J = 7.6$ Hz, 1H), 2.37 – 2.30 (m, 2H), 1.41 – 1.33 (m, 2H), 1.28 – 1.19 (m, 1H), 0.78 (t, $J = 7.3$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ : 189.77, 143.45, 134.08, 133.54, 129.24, 128.70, 128.59, 118.82, 114.40, 58.95, 30.88, 26.60, 22.05, 13.44. HRMS (EI) $[M+H]^+$ m/z Calcd for $C_{18}H_{21}NOSH^+$ 300.1417, found 300.1419.



2-(Isopentylthio)-1-phenyl-2-(phenylamino)ethan-1-one (5ae)

Yellow oil ($m = 46$ mg, 74%); **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 8.05 – 8.03 (m, 2H), 7.61 – 7.57 (m, 1H), 7.51 – 7.47 (m, 2H), 7.28 – 7.24 (m, 2H), 6.90 – 6.88 (m, 2H), 6.83 (t, $J = 7.3$ Hz, 1H), 6.03 (d, $J = 8.1$ Hz, 1H), 5.32 (d, $J = 8.0$ Hz, 1H), 2.35 – 2.28 (m, 2H), 1.52 – 1.42 (m, 1H), 1.27 – 1.21 (m, 2H), 0.75 – 0.72 (m, 6H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 189.74, 143.45, 134.09, 133.54, 129.24, 128.70, 128.58, 118.84, 114.41, 58.96, 37.62, 27.45, 25.00, 22.04. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{19}\text{H}_{23}\text{NOSH}^+$ 314.1573, found 314.1571.



2-(Cyclohexylthio)-1-phenyl-2-(phenylamino)ethan-1-one (5af)

Yellow solid ($m = 47$ mg, 72%); m.p.: 140.1 – 144.9 °C; **$^1\text{H NMR}$ (400 MHz, CDCl_3)** δ : 8.08 – 8.05 (m, 2H), 7.61 (t, $J = 7.4$ Hz, 1H), 7.51 (t, $J = 7.6$ Hz, 2H), 7.30 – 7.26 (m, 2H), 6.91 (d, $J = 7.8$ Hz, 2H), 6.85 (t, $J = 7.3$ Hz, 1H), 6.05 (d, $J = 7.1$ Hz, 1H), 5.37 (d, $J = 7.3$ Hz, 1H), 2.74 – 2.68 (m, 1H), 1.71 – 1.47 (m, 5H), 1.30 – 1.14 (m, 5H); **$^{13}\text{C NMR}$ (101 MHz, CDCl_3)** δ : 190.99, 143.78, 134.30, 133.46, 129.22, 128.67, 128.61, 118.75, 114.38, 59.65, 41.00, 35.07, 34.45, 26.09, 25.40. HRMS (EI) $[\text{M}+\text{H}]^+$ m/z Calcd for $\text{C}_{20}\text{H}_{23}\text{NOSH}^+$ 326.1573, found 326.1575.

5. Copies of $^1\text{H NMR}$ and $^{13}\text{C NMR}$ spectra

